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Book Review

Efficient Preparations of Fluorine Compounds

H.W. Roesky, Ed.

John Wiley and Sons, New Jersey, 2013 ISBN : 9781118078563

Many fluorinated molecules are encountered by the general public on a daily basis but this is not recognised even by the general scientific community. For example, hydrofluorocarbon refrigerants and perfluorinated non-stick coated cookware are present in everyone's kitchen, perfluoroalkylated textile treatments for carpets are widely used in many of our houses and the LCD computer screen on which I type this essay owes its function to high dipole moment fluoroaromatic molecules. Readers of ChemMedChem will be aware that 20% of all new pharmaceuticals contain fluorine atoms and around 140 drugs bearing fluorine atoms have been approved by the FDA since the enhancement of bioactivity of steroids upon fluorine introduction was first observed in the 1950's. Fluorine chemistry is largely, however, still regarded as a specialist subject by the drug discovery community and many new chemical entities bearing fluorine atoms are synthesised using pre-fluorinated aromatic building blocks supplied by bulk manufacturers skilled in the use of anhydrous hydrogen fluoride for Balz-Schiemann (ArF) and Halex (ArCF₃) processes. I have the impression that, in many cases, scientists from the pharma industry listen to fluorine chemistry lectures thinking 'I'm glad someone is doing fluorine chemistry...but I'm glad it's not me !' Recently, however, drug design tools are placing fluorine atoms in locations that are much more difficult for building block approaches to access and discovery scientists are becoming necessarily more involved in the synthesis and reactions of fluorinated systems. Overviews of practical techniques for fluorine chemistry are, therefore, very timely.

'Efficient Preparations of Fluorine Compounds' gives an excellent introduction to the experimental procedures and synthetic possibilities offered by fluorine chemistry that will give discovery chemists a flavour of the types of techniques used by researchers in the field. Representative experimental procedures for the preparation of fluorinating agents, carbon-fluorine bond formation, trifluoromethylation and applications of fluorinated building block chemistry, which would be of most interest to ChemMedChem readers, are presented along with syntheses of fluoroorganoboron systems, fluoroalkene chemistry, perfluoroheteroaromatic chemistry and various fluorinated materials, in a concise format in 68 short chapters. Each chapter, written by a recognised expert in fluorine chemistry, gives a brief overview of the reagents or reactions discussed followed by detailed experimental procedures, including diagrams or photographs of equipment used where appropriate, followed by characterisation data, handling precautions and waste disposal information.

Syntheses of fluorinating agents such as F₂ (Christe), CsF and AgF (Seppelt), XeF₂ (Schrobilgen), inorganic fluorides (Meshri), iodine fluorides and Me₄NF (Frohn), and electrophilic trifluoromethylating salts (Magnier) will provide useful practical tips on the preparation, purification and storage of a wide range of fluorinating agents. Carbon fluorine bond formation using, for

example, nucleophilic fluoride (Shreeve) and electrophilic fluorine (Shreeve, Rozen, Gouverneur), BrF_3 and AcOF (Rozen) then show how fluorinating agents may be applied in various synthetic protocols. Fluoromethylation (Prakash), pentafluoroethylation (Roschenthaler), di- and trifluoromethylation reactions (Wang, Umemoto) provide practical guidance on introducing fluorinated groups into organic molecules using carbon-carbon bond forming reactions of fluorinated precursors. Synthesis of fluoroalkenes (Lentz) is followed by reactions of various unsaturated perfluorinated systems including perfluorocyclo-octatetraene (Lemal), paracyclophanes (Dolbier), perfluoroalkenes (Koroniak) and perfluoroaromatic derivatives (Burton, Braun). The use of fluorinated systems in materials chemistry including alumina (Winfield) and C_{70} (Strauss) complete the diverse selection of fluorination techniques and their application in synthesis.

Although life-science synthetic chemists would probably wish to see more examples of the use of selective fluorinating agents such as DAST , $\text{Et}_3\text{N} \cdot 3\text{HF}$ or $\text{pyridine} \cdot 9\text{HF}$, all useful discovery reagents for the life sciences, the volume presents a fascinating collection of experiments that will enable synthetic chemists to grasp the practicalities of fluorine chemistry, across a wide range of experimental techniques, very rapidly before beginning research into the primary literature. As Professor Sharpless comments in the Foreword, 'Many years of experience, together with deep and catholic knowledge of chemical reactivity principles, is the important coin in this [fluorine chemistry] realm' and I would recommend this book to anyone involved in synthetic chemistry interested in laboratory synthesis involving fluorinating reagents or fluorinated molecules as an introduction into the experimental and chemical reactivity possibilities now available.

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